Amendments to the Specification

1. Please amend page 12, lines 1-4 of the original specification as follows:

$$\begin{array}{c} R^{1} = X - (CH_{2})_{R} - A - C - (CH_{2})_{m} - N - (CH_{2})_{m} - Y - R^{3} \\ \hline & -1 - \\ R^{1} = X - (CH_{2})_{R} - R^{4} - (CH_{2})_{m} - CH - Y - R^{3} \\ \hline & -2 - \\ R^{1} = X - (CH_{2})_{R} - A - C - (CH_{2})_{m} - N - (CH_{2})_{n1} - Q - R^{3} \\ \hline & -1 - X - (CH_{2})_{R} - A - C - (CH_{2})_{m} - N - (CH_{2})_{n1} - Q - R^{3} \\ \hline & -1 - X - (CH_{2})_{R} - R^{4} - (CH_{2})_{m} - C - (CH_{2})_{m} -$$

2. Please amend page 12, lines 5-19 as follows:

wherein: R¹ is the biologically active compound; X is a linkage formed between a functional group on the biologically active compound and a terminal functional group on the linking moiety; [[Y]] Q is a linkage formed from a functional group on the transport moiety and a functional group on the linking moiety; A is N or CH; R² is hydrogen, alkyl, aryl, arylalkyl, acyl or allyl; R³ is the transport moiety; R⁴ is S, O, NR⁶ or CR⁻R՞8; R⁵ is H, OH, SH or NHR⁶; R⁶ is hydrogen, alkyl, aryl, acyl or allyl; R⁻ and R³ are independently hydrogen or alkyl; k and m are independently either 1 or 2; and [[n]] n¹ is an integer ranging from 1 to 10. Non-limiting examples of the X and [[Y]] Q linkages are (in either orientation): -C(O)O-, -C(O)NH-, -OC(O)NH-, -S-S-, -C(S)O-, -C(S)NH-, -NHC(O)NH-, -SO₂NH-, -SONH-, phosphate, phosphonate and phosphinate. One of skill in the art will appreciate that when the biological agent has a hydroxy functional group, then X will preferably be -OC(O)- or -OC(O)NH-. Similarly, when the linking group is attached to an amino terminus of the transport moiety, [[Y]] Q will preferably be -C(O)NH-, -NHC(O)NH-, -SO₂NH-, -SONH- or -OC(O)NH- and the like. In each of the groups provided above, NH is shown for brevity, but each of the linkages (X and [[Y]] Q) can contain substituted (e.g., N-alkyl or N-acyl) linkages as well.

3. Please amend page 13, lines 17-18 as follows:

Accordingly, for structure 1, the following substituents are preferred: A is N; R^2 is benzyl; k, m and [[n]] $\underline{n1}$ are 1; X is -OC(O)- and [[Y]] \underline{Q} is -C(O)NH-.

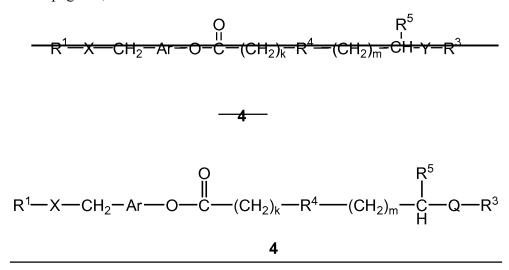
4. Please amend page 14, lines 5-10 as follows:

Accordingly, in one group of preferred embodiments, the conjugate is represented by formula **2**, in which X is -OC(O)-; [[Y]] Q is -C(O)NH-; R^4 is S; R^5 is NHR^6 ; and the subscripts k and m are each 1. In another group of preferred embodiments, the conjugate is represented by formula **2**, in which X is -OC(O)-; [[Y]] Q is -NHC(O)-; R^4 is S; R^5 is $CONH_2$; and the subscripts k and m are each 1. Particularly preferred conjugates are those in which R^6 is hydrogen, methyl, allyl, butyl or phenyl.

5. Please amend page 14, lines 15-16 as follows:

For structure 3, the following substituents are preferred: R^5 is NHR⁶, wherein R^6 is hydrogen, methyl, allyl, butyl or phenyl; k is 2; X is -C(O)O-; and [Y] Q is -C(O)NH-.

6. Please amend page 15, lines 7 as follows:



7. Please amend page 15, lines 22-25 as follows:

Preferably, the linking groups used in the conjugates of formula **4**, are those in which Ar is an substituted or unsubstituted phenylene group; R^4 is S; R^5 is NHR⁶, wherein R^6 is hydrogen, methyl, allyl, butyl, acetyl or phenyl; k and m are 1; X is -C(O)O-; and Y is -C(O)O- or -C(O)NH-. More preferably, R^6 is hydrogen or acetyl.

8. Please amend page 18, lines 9-19 as follows:

Still other suitable linkers are illustrated in Figure 5E of PCT application US00/23440 (Publication No. WO 01/13957). In the approach provided therein, a delivery-enhancing transporter is linked to a biologically active agent, *e.g.*, paclitaxel, by an aminoalkyl carboxylic acid. Preferably, the linker amino group is linked to the linker carboxyl carbon by

from 3 to 5 chain atoms ([[n]] $\underline{n1}$ = 3 to 5), preferably either 3 or 4 chain atoms, which are preferably provided as methylene carbons. As seen in Figure 5E, the linker amino group is joined to the delivery-enhancing transporter by an amide linkage, and is joined to the paclitaxel moiety by an ester linkage. Enzymatic cleavage of the amide linkage releases the delivery-enhancing transporter and produces a free nucleophilic amino group. The free amino group can then react intramolecularly with the ester group to release the linker from the paclitaxel.